

WEST Search History

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DATE: Wednesday, January 02, 2008

Hide?	<u>Set Name</u>	<u>Query</u>	<u>Hit Count</u>
		<i>DB=PGPB,USPT; PLUR=YES; OP=ADJ</i>	
<input type="checkbox"/>	L24	L23 and (@AD<20020823 or @PRAD<20020823 or @RLAD<20020823)	49
<input type="checkbox"/>	L23	L21 and (hyperglycem\$ or diabet\$)	80
<input type="checkbox"/>	L22	L21 and (sodium-dependent glucose cotransporter)	3
<input type="checkbox"/>	L21	L19 and (pyrazole)	121
<input type="checkbox"/>	L20	L19 and (\$pyrazole.ab. or \$pyrazole.clm.)	42
<input type="checkbox"/>	L19	514/27.icls. or 514/27.ccls. or 536/17.4.icls. or 536/17.4.ccls.	1767
<input type="checkbox"/>	L18	L17 and pyrazole	26
<input type="checkbox"/>	L17	Kissei.as.	119

END OF SEARCH HISTORY

FILE 'REGISTRY' ENTERED AT 14:10:56 ON 02 JAN 2008

L1 STRUCTURE UPLOADED

L2 10 S L1

L3 261 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 14:12:04 ON 02 JAN 2008

L4 2 S L3

=> file registry
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 14:10:56 ON 02 JAN 2008
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 1 JAN 2008 HIGHEST RN 959833-82-0
DICTIONARY FILE UPDATES: 1 JAN 2008 HIGHEST RN 959833-82-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

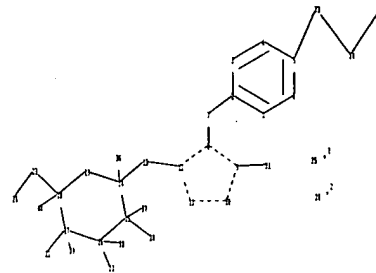
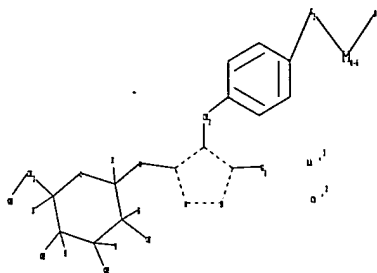
TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10525197generic.str



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ring nodes :
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18-23 18-40 23-24 33-34 34-35
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 10-11 11-12 14-15 14-19 15-16
16-17 17-18 18-19
exact/norm bonds :
5-33 8-9 8-12 9-10 9-31 10-11 11-12 12-13 13-14 14-15 14-19 15-16 15-20
16-17 16-21 17-18 17-22 18-19 33-34 34-35
exact bonds :
2-7 7-8 14-36 15-37 16-38 17-39 18-23 18-40 23-24
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

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G1: [*1], [*2]

G2: O, S, C

Connectivity :

25:1 X maximum RC ring/chain 28:0 E exact RC ring/chain
 Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
 11:Atom 12:Atom 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
 20:CLASS 21:CLASS
 22:CLASS 23:CLASS 24:CLASS 25:CLASS 28:Atom 31:CLASS 33:CLASS 34:CLASS
 35:CLASS 36:CLASS
 37:CLASS 38:CLASS 39:CLASS 40:CLASS
 Generic attributes :
 25:
 Number of Carbon Atoms : less than 7
 28:
 Saturation : Saturated
 Number of Carbon Atoms : less than 7
 Type of Ring System : Monocyclic

L1 STRUCTURE UPLOADED

=> s l1
 SAMPLE SEARCH INITIATED 14:11:13 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 28 TO ITERATE

100.0% PROCESSED 28 ITERATIONS 10 ANSWERS
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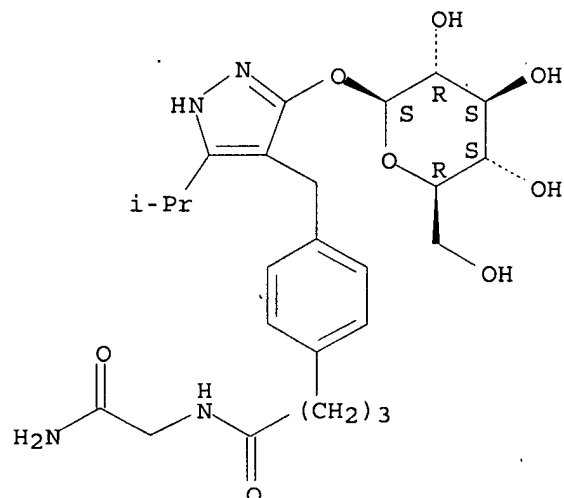
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 243 TO 877
 PROJECTED ANSWERS: 11 TO 389

L2 10 SEA SSS SAM L1

=> d l2 scan

L2 10 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
 IN Benzenebutanamide, N-(2-amino-2-oxoethyl)-4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-
 MF C25 H36 N4 O8

Absolute stereochemistry.



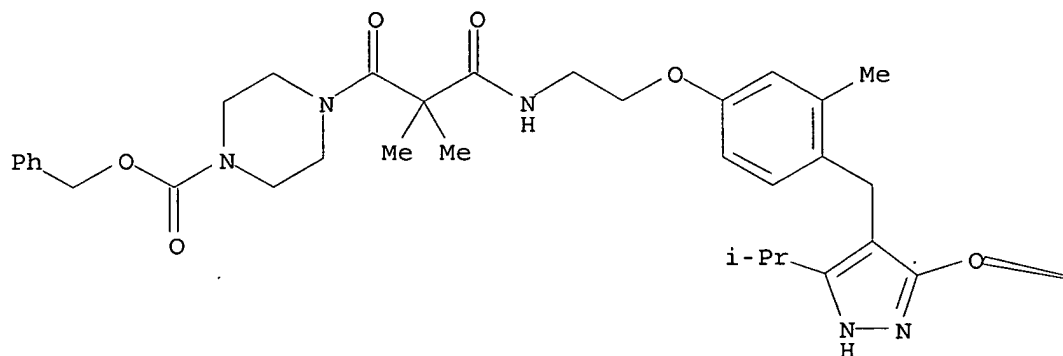
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HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

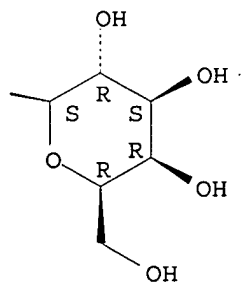
L2 10 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 1-Piperazinecarboxylic acid, 4-[3-[[2-[4-[[3-(β-D-galactopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]ethyl]amino]-2,2-dimethyl-1,3-dioxopropyl]-, phenylmethyl ester
MF C39 H53 N5 O11

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

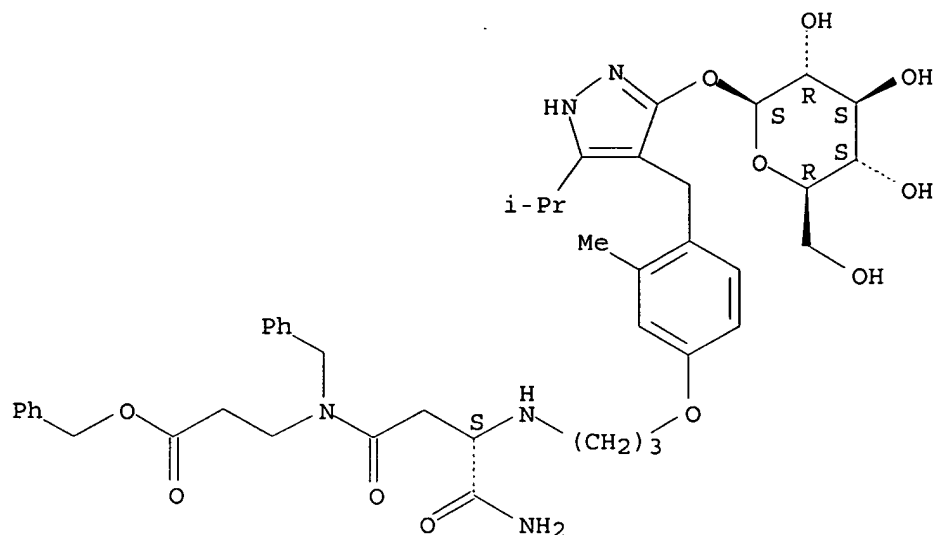


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 10 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN β-Alanine, N2-[3-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]propyl]-L-α-

asparaginy1-N-(phenylmethyl)-, phenylmethyl ester (9CI)
MF C44 H57 N5 O11

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l1 sss full

FULL SEARCH INITIATED 14:12:01 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 657 TO ITERATE

100.0% PROCESSED 657 ITERATIONS

261 ANSWERS

SEARCH TIME: 00.00.01

L3 261 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.82

179.03

FILE 'CAPLUS' ENTERED AT 14:12:04 ON 02 JAN 2008

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 2 Jan 2008 VOL 148 ISS 1

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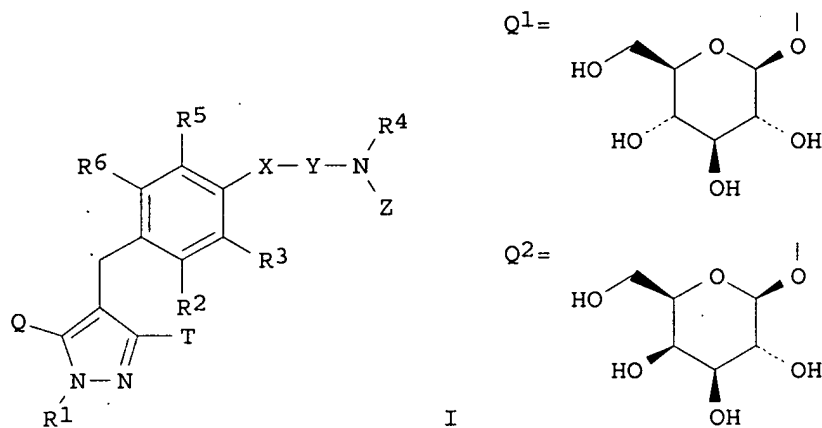
L4 2 L3

=> d l4 1-2 ti abs bib hitstr

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

TI Preparation of 4-benzylpyrazolyl glucopyranosides and galactopyranoside derivatives as sodium-glucose cotransporter (SGLT1) inhibitors, medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof

GI



AB Pyrazole derivs. represented by the general formula (I) [R¹ = H, C1-6 alkyl, C2-6 alkenyl, hydroxy-C2-6 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-6 alkyl, each (un)substituted aryl or aryl-C1-6 alkyl; one of Q and T = Q¹ or Q² and the other = C1-6 alkyl, halo-C1-5 alkyl, C1-6 alkoxy-C1-6 alkyl, C3-7 cycloalkyl; R² = H, halo, OH, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, halo-C1-6 alkyl, halo-C1-6 alkoxy, C1-6 alkoxy-C1-6 alkoxy, C3-7 cycloalkyl-C2-6 alkoxy, etc.; X = a single bond, O, S; Y = optionally hydroxy-substituted C1-6 alkylene or C2-6 alkenylene; Z = RB, CORC, SO₂RC, CO(RD)RE, SO₂NHRF, C(:NRG)N(RH)RI; wherein RC = each (un)substituted aryl, heteroaryl, or C1-6 alkyl; R⁴, RB, RD, RE, RF = H, each (un)substituted aryl, heteroaryl, or C1-6 alkyl; NR⁴RB or NRDRE together forms (un)substituted C2-6 cyclic amino; RG, RH, RI = H, (un)substituted C1-6 alkyl, etc.; R³, R⁵, R⁶ = H, halo, C1-6 alkyl, C1-6 alkoxy] or pharmacol. acceptable salts thereof are prepared These compds. have excellent human SGLT1 inhibitory activity and are useful as preventives or therapeutic agents for diseases attributable to hyperglycemia such as diabetes, impaired glucose tolerance, fasting blood sugar abnormality, complications of diabetes, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, ischemic heart failure, edema, hyperuricemia, and gout and for diseases attributable to an increased blood galactose level such as galactosemia. For example, 3-(β-D-glucopyranosyloxy)-4-[[4-[3-[3-(2-hydroxy-1,1-dimethylethyl)ureido]propoxy]-2-methylphenyl)methyl]-5-isopropyl-1H-

pyrazole in vitro inhibited the uptake of [14C]methyl α -D-glucopyranoside in CHO-K1 cells expressing human SGLT1 with IC50 of 19 nM. For another example, 3-(β -D-glucopyranosyloxy)-4-[[4-(2-guanidinoethoxy)-2-methylphenyl]methyl]-5-isopropyl-1H-pyrazole at 1 mg/kg p.o. lowered the serum glucose concentration from 303 \pm 63 (control) to 165 \pm 17 mg/dL after 1 h in rats with streptozotocin-induced diabetes.

AN 2004:182896 CAPLUS <<LOGINID::20080102>>

DN 140:236000

TI Preparation of 4-benzylpyrazolyl glucopyranosides and galactopyranoside derivatives as sodium-glucose cotransporter (SGLT1) inhibitors, medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof

IN Fushimi, Nobuhiko; Shimizu, Kazuo; Yonekubo, Shigeru; Teranishi, Hirotaka; Tomae, Masaki; Isaji, Masayuki

PA Kissei Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 270 pp.

CODEN: PIXXD2

DT Patent

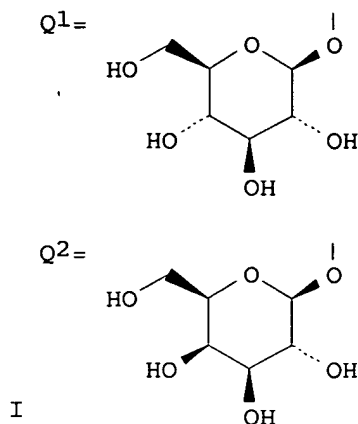
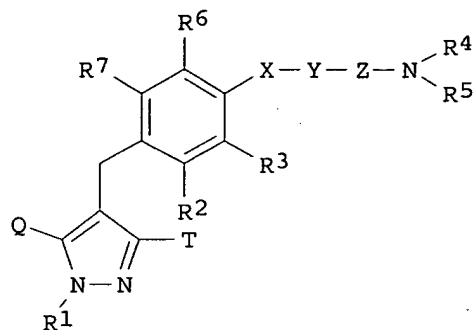
LA Japanese

FAN.CNT 1

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L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
TI Preparation of pyrazolyl glucopyranoside and galactopyranoside derivatives
inhibitors of human sodium-glucose cotransporter 1 (SGLT1), medicinal
composition containing the same, medicinal use thereof, and intermediate
for production thereof
GI



AB Pyrazoles derivs. represented by the general formula (I) [R1 = H, C1-5 alkyl, C2-5 alkenyl, hydroxy-C2-5 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-6 alkyl (un)substituted aryl or aryl-C1-6 alkyl; one of Q and T = Q1, Q2 and the other = C1-5 alkyl, halo-C1-6 alkyl, C1-6 alkoxy-C1-6 alkyl, C3-7 cycloalkyl; R2 = H, halo, OH, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, halo-C1-6 alkyl, halo-C1-6 alkoxy, C1-6 alkoxy-C1-6 alkoxy, C3-7 cycloalkyl-C2-6 alkoxy, etc.; X = a single bond, O, S; Y = a single bond, C1-6 alkylene, C2-6 alkenylene; Z = CO, SO2; R4, R5 = H, (un)substituted C1-6 alkyl; or NR4R5 together forms an (un)substituted C2-6 cyclic amino; R3, R6, R7 = H, halo, C1-6 alkyl, C1-6 alkoxy] or pharmacol. acceptable salts thereof or prodrug of either are prepared These compds. have excellent human SGLT1 inhibitory activity and are useful as preventives or therapeutic agents for (1) diseases attributable to hyperglycemia such as diabetes, impaired glucose tolerance, complications of diabetes, obesity, hyperinsulinemia, hyperlipidemia, hypercholesteremia, hypertriglycemia, lipid metabolism disorder, atherosclerosis, hypertension, ischemic heart failure, edema, hyperuricemia, or gout and (2) diseases attributable to high level of galactose, galactosemia. For example, 3-(β-D-glucopyranosyloxy)-4-[[4-[3-[2-hydroxy-1,1-bis(hydroxymethyl)ethylcarbonyl]propyl]phenyl]methyl]-5-isopropyl-1H-pyrazole at 1 mg/kg p.o. lowered blood glucose in diabetic rats from 297±35 to 178±19 mg/dL in 1 h.

AN 2004:143172 CAPLUS <<LOGINID::20080102>>

DN 140:199632

TI Preparation of pyrazolyl glucopyranoside and galactopyranoside derivatives inhibitors of human sodium-glucose cotransporter 1 (SGLT1), medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof

IN Teranishi, Hirotaka; Fushimi, Nobuhiko; Yonekubo, Shigeru; Shimizu, Kazuo; Shibazaki, Toshihide; Isaji, Masayuki

PA Kissei Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 215 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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 JP 2002-321729 A 20021105
 WO 2003-JP10048 W 20030807

OS MARPAT 140:199632

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 661480-66-6P 661480-67-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of pyrazolyl glucopyranoside and galactopyranoside derivs.
 inhibitors of human sodium-glucose cotransporter 1 (SGLT1) for
 preventives or therapeutics for diseases related to hyperglycemia or
 galactosemia)

RN 661479-26-1 CAPLUS

CN Benzenebutanamide, N-(2-amino-2-oxoethyl)-4-[[3-(β-D-
 glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX

NAME)

FILE 'REGISTRY' ENTERED AT 14:10:56 ON 02 JAN 2008

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